

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
14 October 2004 (14.10.2004)

PCT

(10) International Publication Number
WO 2004/087059 A2

(51) International Patent Classification⁷: **A61K**
(21) International Application Number:
PCT/US2004/009399
(22) International Filing Date: 26 March 2004 (26.03.2004)
(25) Filing Language: English
(26) Publication Language: English

(30) Priority Data:
60/457,293 26 March 2003 (26.03.2003) US

(71) Applicant (for all designated States except US): **THE UNIVERSITY OF TEXAS** [US/US]; Health Science Center at Houston, 7000 Fannin Street, Suite 1477, Houston, TX 77030 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **PAUL, Sudhir** [US/US]; 2323 Reflection Court, Missouri City, TX 77459 (US). **NISHIYAMA, Yasuhiro** [JP/US]; 7100 Alameda Road #607, Houston, TX 77054 (US).

(74) Agents: **HAHL, Robert, W.** et al.; Katten Muchin Zavis Rosenman, 1025 Thomas Jefferson Street, NW, East Lobby, Suite 700, Washington, DC 20007-5201 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

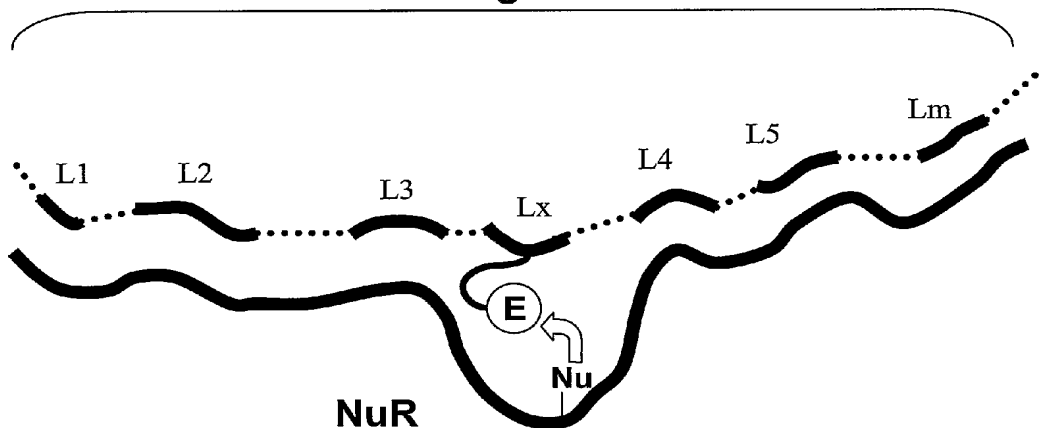
Published:

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: COVALENT ATTACHMENT OF LIGANDS TO NUCLEOPHILIC PROTEINS GUIDED BY NON-COVALENT BINDING

LaCAL binding determinant



(57) Abstract: A covalently reactive ligand analogue (CAL) of formula (1): wherein, $L_1 \dots L_x \dots L_m$ are components defining a ligand determinant, L_x is a component unit of the ligand determinant selected from the group consisting of an amino acid residue, sugar residue, a fatty acid residue and a nucleotide, L' is a functional group of L_x , Y'' is atom, covalent bond or linker, Y' is an optional charged or neutral group Y is a covalently reactive electrophilic group that reacts specifically with a receptor that binds to said ligand determinant, and n is an integer from 1 to 1000 m is an integer from 1 to 30.

WO 2004/087059 A2